Claims

1. Galenical formulation, characterized in that it contains paramagnetic and diamagnetic perfluoroalkyl-containing substances.

2. Formulation according to claim 1, wherein the ratio of paramagnetic to the diamagnetic perfluoroalkyl-containing substances lies between 5:95 and 95:5.

3. Formulation according to claim 1, wherein the paramagnetic and diamagnetic perfluoroalkyl-containing compounds are present dissolved in an aqueous solvent.

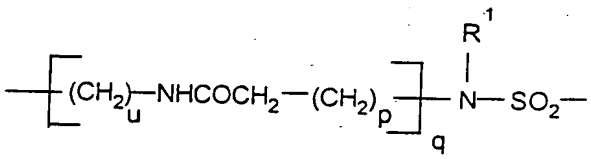
4. Formulation according to claim 1, wherein the paramagnetic perfluoroalkyl-containing compounds are those of general formula I:

$$R^F\text{-}A \qquad I$$

in which R^F represents a straight-chain or branched perfluoroalkyl radical with 4 to 30 carbon atoms, and A is a molecule portion that contains 1-6 metal complexes.

5. Formulation according to claim 4, wherein molecule portion A stands for a group L-M, whereby L stands for a linker and M stands for a metal complex that consists of an open-chain or cyclic cheating agent, which as a central atom contains an atom of atomic numbers 21-29, 39, 42, 44 or 57-83.

6. Formulation according to claim 5, wherein linker L is a direct bond, a methylene group, an -NHCO group, a group



ist,

whereby p means the numbers 0 to 10, q and u, independently of one another, mean the numbers 0 or 1, and

R^1 means a hydrogen atom, a methyl group, a $-CH_2-OH$ group, a $-CH_2-CO_2H$ group or a C_2-C_{15} chain, which optionally is interrupted by 1 to 3 oxygen atoms, 1 to 2 >CO groups or an optionally substituted aryl group and/or is substituted with 1 to 4 hydroxyl groups, 1 to 2 C_1-C_4 alkoxy groups, 1 to 2 carboxy groups,

or a straight-chain, branched, saturated or unsaturated C_2-C_{30} carbon chain, which optionally contains 1 to 10 oxygen atoms, 1 to 3 $-NR^1$ groups, 1 to 2 sulfur atoms, a piperazine, a $-CONR^1$ group, an $-NR^1CO$ group, an $-SO_2$ group, an $-NR^1-CO_2$ group, 1 to 2 CO groups, a group

$$-CO-N(T-N(R^1)-SO_2-R^F)-$$ or 1 to 2

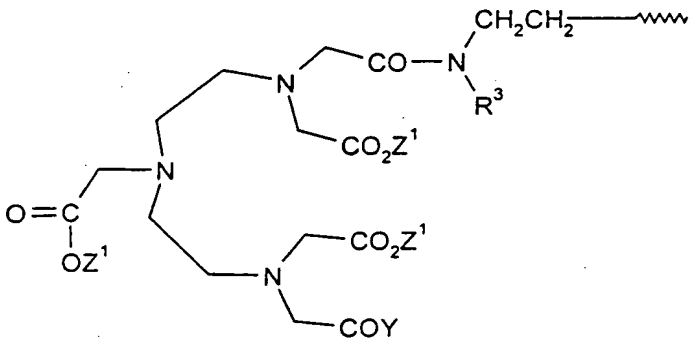
optionally substituted aryls and/or is interrupted by these groups and/or is optionally substituted with 1 to 3 $-OR^1$ groups, 1 to 2 oxo groups, 1 to 2 $-NH-COR^1$ groups, 1 to 2 $-CONHR^1$ groups, 1 to 2 $(-CH_2)_p-CO_2H$ groups, 1 to 2 groups $-(CH_2)_p-(O)_q-CH_2CH_2-R^f$,

whereby

R^1, and p and q have the above-indicated meanings, and R^f is defined as in claim 4,

T means a C_2-C_{10} chain, which optionally is interrupted by 1 to 2 oxygen atoms or 1 to 2 -NHCO groups.

7. Formulation according to claim 5, wherein metal complex M stands for a complex of general formula II



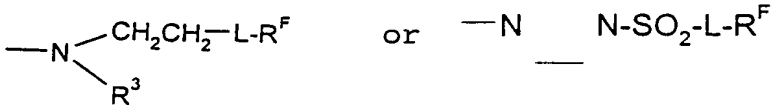
(II)

in which R^3, Z^1 and Y are independent of one another, and

R^3 has the meaning of R^1 or $-(CH_2)_m$-L-R^F, whereby m is 0, 1 or 2, and L and R^F have the meaning that is mentioned in claim 6,

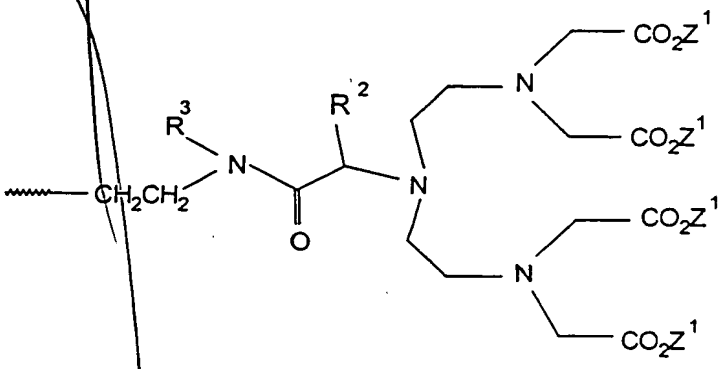
Z^1, independently of one another, mean a hydrogen atom or a metal ion equivalent of atomic numbers 21-29, 39, 42, 44 or 57-83,

Y means $-OZ^1$, or



whereby Z^1 and R^3 have the above-mentioned meanings, and linker L is defined as in claim 6 and R^F is defined as in claim 4.

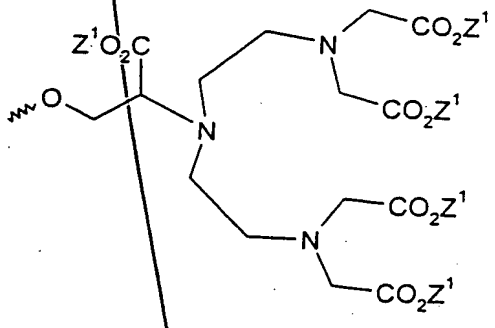
8. Formulation according to claim 5, wherein metal complex M stands for a complex of general formula III



(III)

in which R^3 and Z^1 have the meanings that are mentiond in claim 7, and R^2 has the meaning of R^1 in claim 6.

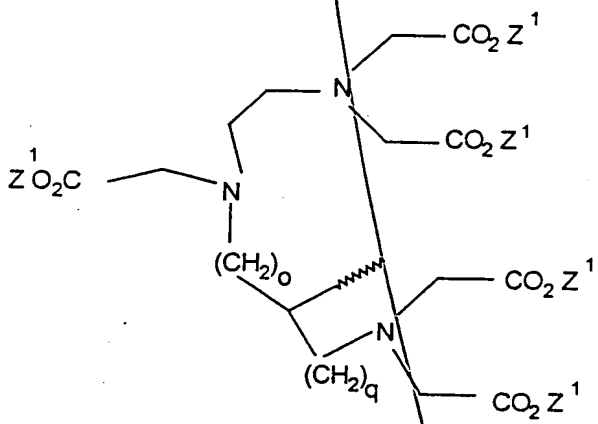
9. Formulation according to claim 5, wherein metal complex M stands for a metal complex of general formula IV



(IV)

in which Z^1 has the meaning that is mentioned in claim 7.

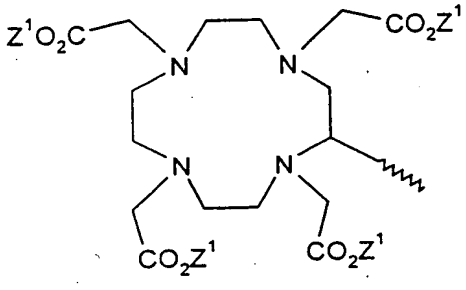
10. Formulation according to claim 5, wherein metal complex M stands for a metal complex of general formula V



(V)

in which Z^1 has the meaning that is mentioned in claim 7, and o and q stand for the numbers 0 or 1, and yields the sum o + q = 1.

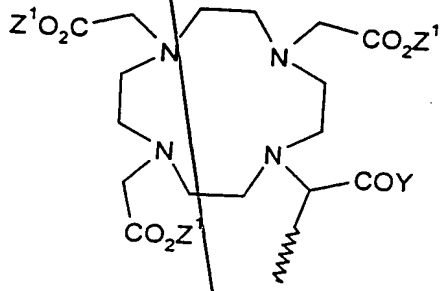
11. Formulation according to claim 5, wherein metal complex M stands for a metal complex of general formula VI



(VI)

in which Z^1 has the meaning that is mentioned in claim 7.

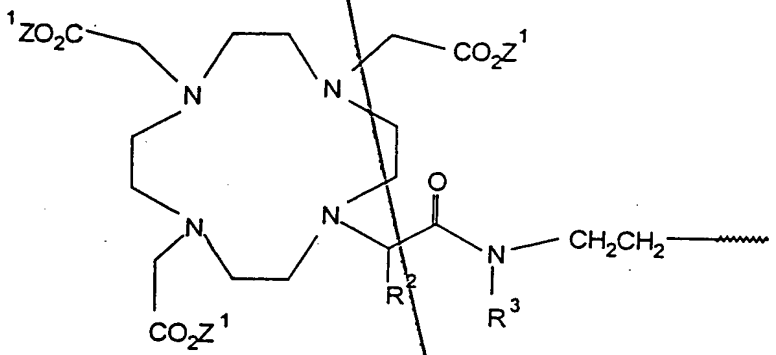
12. Formulation according to claim 5, wherein metal complex M stands for a metal complex of general formula VII



(VII)

in which Z^1 and Y have the meanings that are mentioned in claim 7.

13. Formulation according to claim 5, wherein metal complex M is a complex of general formula VIII

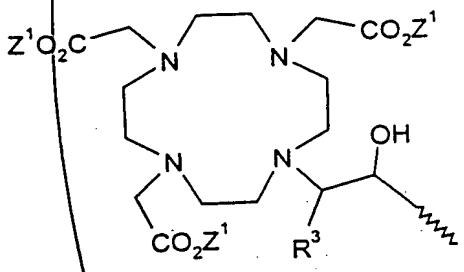


(VIII)

in which R^3 and Z^1 have the meanings that are mentioned in claim 7, and R^2 has the meaning of R^1 in claim 6.

14. Formulation according to claim 5, wherein metal complex

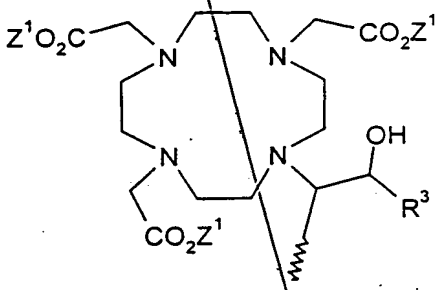
M is a complex of general formula IX



(IX)

in which R^3 and Z^1 have the meanings that are mentioned in claim 7.

15. Formulation according to claim 5, wherein metal complex M is a complex of general formula X

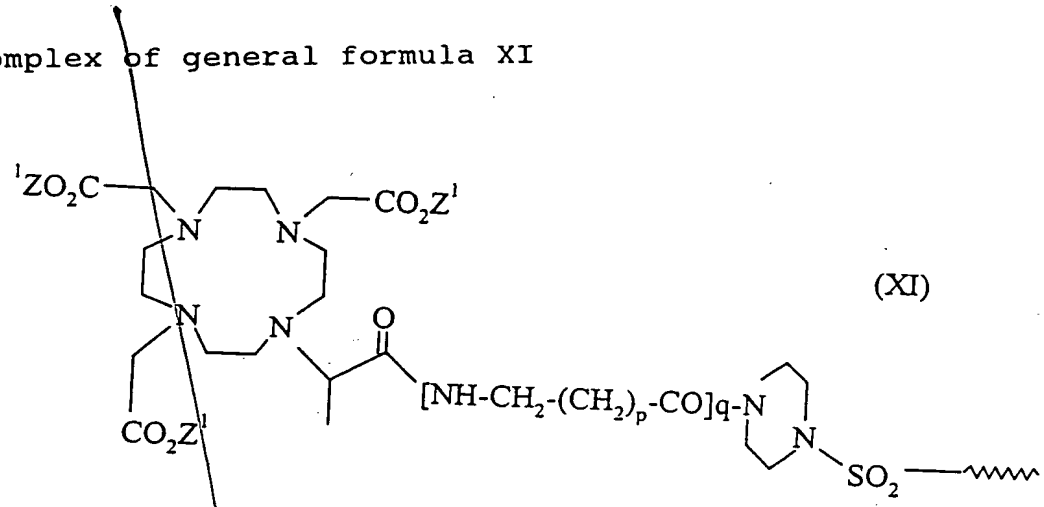


(X)

in which R^3 and Z^1 have the meanings that are mentioned in claim 7.

16. Formulation according to claim 5, wherein metal complex

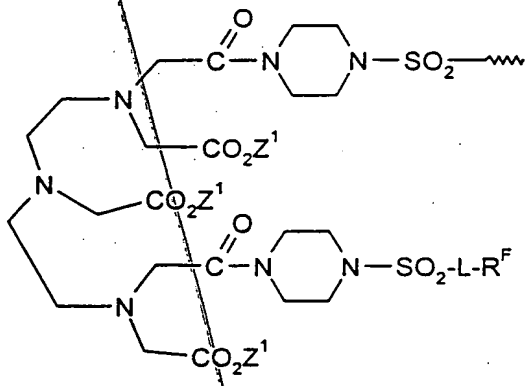
M is a complex of general formula XI



(XI)

in which Z^1, p and q have the meaning that is mentioned in claim 7, and R^2 has the meaning of R^1 in claim 6.

17. Formulation according to claim 5, wherein metal complex M is a complex of general formula XII

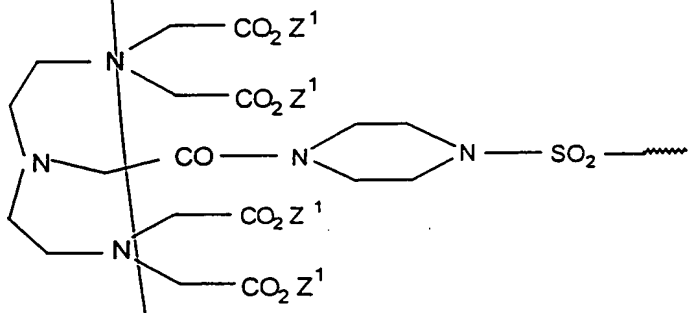


(XII)

in which L is defined as in claim 6, R^F is defined as in claim 4, and Z^1 is defined as in claim 7.

18. Formulation according to claim 5, wherein metal complex

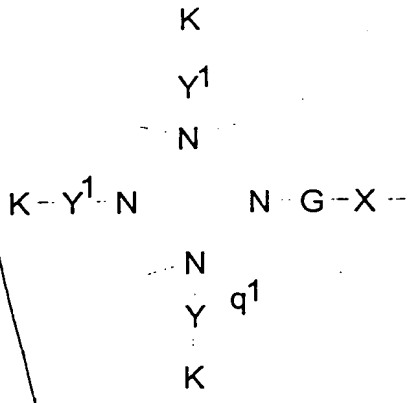
M is a complex of general formula XIII



(XIII)

in which Z^1 has the meaning that is mentioned in claim 7.

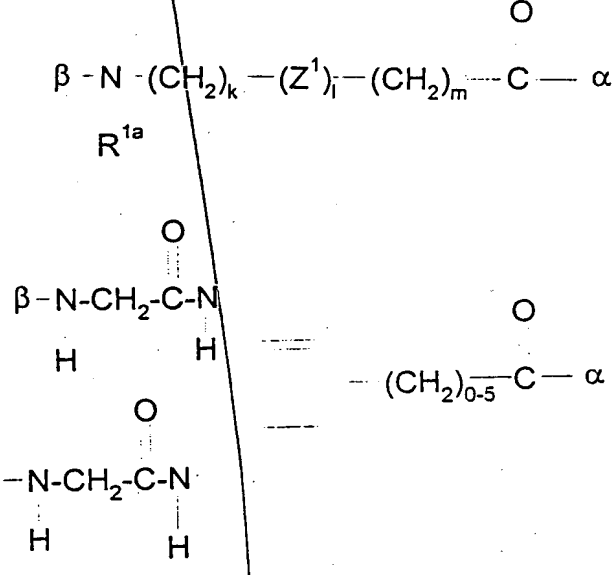
19. Formulation according to claim 4, wherein molecule portion A has the following structure:



whereby

- q^1 is a number 0, 1, 2 or 3,
- K stands for a complexing agent or metal complex or salts thereof of organic and/or inorganic bases or amino acids or amino acid amides,

- X is a direct bond for the perfluoroalkyl group, a phenylene group or a C_1-C_{10} alkyl chain, which optionally contains 1-15 oxygen atoms, 1-5 sulfur atoms, 1-10 carbonyl groups, 1-10 (NR) groups, 1-2 $NRSO_2$ groups, 1-10 CONR groups, 1 piperidine group, 1-3 SO_2 groups, 1-2 phenylene groups or optionally is substituted by 1-3 radicals R^F, in which R stands for a hydrogen atom, a phenyl, benzyl or a C_1-C_{15} alkyl group, which optionally contains 1-2 NHCO groups, 1-2 CO groups, 1-5 oxygen atoms and optionally is substituted by 1-5 hydroxy, 1-5 methoxy, 1-3 carboxy, 1-3 R^F radicals,
- Y^1 is a direct bond or a chain of general formula II′ or III′:



(II′)

(III′)

in which

- R^{1a} is a hydrogen atom, a phenyl group, a benzyl group or a C_1-C_7 alkyl group, which optionally is substituted with a carboxy group, a methoxy group or a hydroxy group,

- Z^1 is a direct bond, a polyglycol ether group with up to 5 glycol units or a molecule portion of general formula IV^1

$$-CH(R^{2a})- \qquad (IV^1)$$

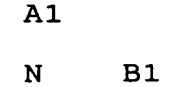
in which R^{2a} is a C_1-C_7 carboxylic acid, a phenyl group, a benzyl group or a $-(CH_2)_{1-5}$-NH-K group,

- α represents the binding to the nitrogen atom of the skeleton chain, ß represents the binding to the complexing agent or metal complex K,
- and in which variables k and m stand for natural numbers between 0 and 10, and l stands for 0 or 1,

and whereby

- G is a CO or SO_2 group.

20. Formulation according to claim 5, in which linker L stands for a molecule portion according to general formula XIV



(XIV),

in which

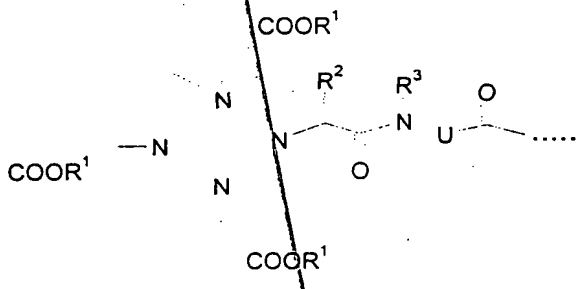
N represents a nitrogen atom,

A1 means a hydrogen atom, a straight-chain or branched C_1-C_{30} alkyl group, which optionally is interrupted by 1-15 oxygen atoms and/or optionally is substituted with 1-10 hydroxy groups, 1-2 COOH groups, a phenyl group, a benzyl group and/or 1-5 $-OR^4$ groups, with R^4 in the meaning of a hydrogen atom or a C_1-C_7 alkyl radical, or B1-R^F,

B1 means a straight-chain or branched C_1-C_{30} alkylene group that optionally is interrupted by 1-10 oxygen atoms, 1-5 -NH-CO groups, 1-5 -CO-NH groups, by a phenylene group (that is optionally substituted by a COOH group), 1-3 sulfur atoms, 1-2 -N(B2)-SO_2 groups, and/or 1-2 -SO_2-N(B2) groups with B2 in the meaning of A1, an NHCO group, a CONH group, an N(B2)-SO_2 group, or an -SO_2-N(B2) group and/or optionally is substituted with radical R^F,

and in which a represents the binding to metal complex M, and b represents the binding to perfluoroalkyl group R^F.

21. Formulation according to claim 5, wherein metal complex M stands for a metal complex of general formula XV



(XV)

whereby R^1 stands for a hydrogen atom or a metal ion equivalent of atomic numbers 21-29, 31, 32, 37-39, 42-44, 49 or 57-83,

R^2 and R^3 stand for a hydrogen atom, a C_1-C_7 alkyl group, a benzyl group, a phenyl group, -CH_2OH or -CH_2-OCH_3,

U stands for radical L according to claim 19, whereby L and U, independently of one another, can be the same or different, however.

22. Formulation according to one of the preceding claims, wherein the central atom of the metal complex is a gadolinium atom (atomic number 64).

23. Formulation according to claim 1, wherein the diamagnetic, perfluoroalkyl-containing substances are those of general formula XVI:

$$R^F-L^1-B^2 \qquad (XVI)$$

in which R^F represents a straight-chain or branched perfluoroalkyl radical with 4 to 30 carbon atoms, L stands for a linker, and B^2 stands for a hydrophilic group.

24. Formulation according to claim 23, wherein linker L^1 is a direct bond, an $-SO_2$ group or a straight-chain or branched carbon chain with up to 20 carbon atoms, which can be substituted with one or more -OH, $-COO^-$, $-SO_3$ groups and/or optionally contains one or more -O-, -S-, -CO-, -CONH-, -NHCO-, -CONR-, -NRCO-, $-SO_2-$, $-PO_4'-$, -NH, -NR groups, an aryl ring or a piperazine, whereby R stands for a C_1 to C_{20} alkyl radical, which in turn can contain one or more O atoms and/or can be substituted with $-COO^-$ or SO_3 groups.

25. Formulation according to claim 23, wherein the hydrophilic group is a monosaccharide or a disaccharide, one or more adjacent $-COO^-$ or $-SO_3^-$ groups, a dicarboxylic acid, an isophthalic acid, a picolinic acid, a benzenesulfonic acid, a

tetrahydropyrandicarboxylic acid, a 2,6-pyridinedicarboxylic acid, a quaternary ammonium ion, an aminopolycarboxylic acid, an aminodipolyethyleneglycolsulfonic acid, an aminopolyethylene glycol group, an SO_2-$(CH_2)_2$-OH group, a polyhydroxyalkyl chain with at least two hydroxyl groups or one or more polyethylene glycol chains with at least two glycol units, whereby the polyethylene glycol chains are terminated by an -OH or $-OCH_3$ group.

26. Formulation according to claim 1, wherein the diamagnetic perfluoroalkyl-containing substances are conjugates that consist of α-, ß-, or γ-cyclodextrin and compounds of general formula XVIII:

$$A^1\text{-}L^3\text{-}R^F \qquad \text{(XVIII)}$$

in which A^1 stands for an adamantane, biphenyl or anthracene molecule, L^3 stands for a linker and R^F stands for a straight-chain or branched perfluoroalkyl radical with 4 to 30 carbon atoms; and whereby linker L^3 is a straight-chain hydrocarbon chain with 1 to 20 carbon atoms, which can be interrupted by one or more oxygen atoms, one or more CO-, SO_2-, CONH-, NHCO-, CONR-, NRCO-, NH-, NR groups or a piperazine, whereby R is a C_1-C_5 alkyl radical.

27. Formulation according to claim 1, wherein the perfluoroalkyl chains of the perfluoroalkyl-containing metal complex and the other perfluoroalkyl-containing compounds contain 6 to 12 carbon atoms.

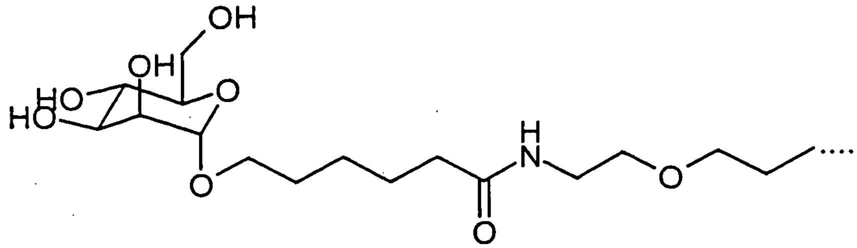
28. Formulation according to claim 28, wherein the perfluoroalkyl chains contain 8 carbon atoms in each case.

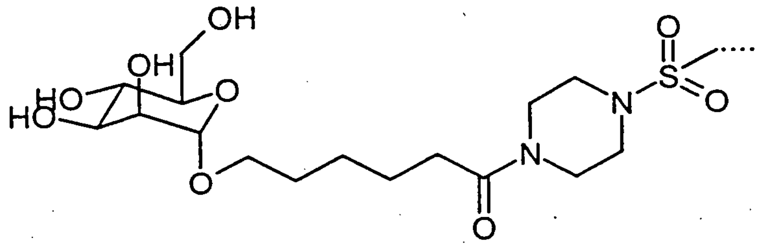
29. Formulation according to claim 1, wherein it has a metal concentration of 50 to 250 mmol/l.

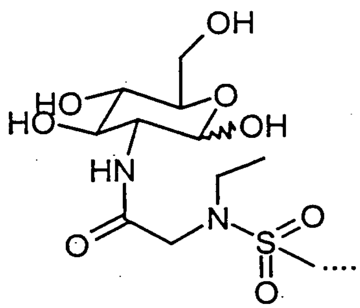
30. Substances of general formula XVII

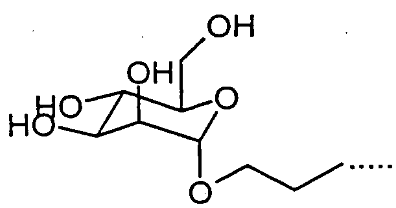
$$R^F\text{-}X^1 \qquad \text{(XVII)}$$

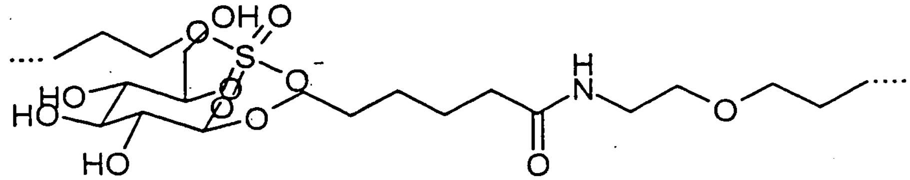
in which R^F represents a straight-chain or branched perfluoroalkyl radical with 4 to 30 carbon atoms, and X^1 is a radical that is selected from the group of the following radicals (in this case, n is a number between 1 and 10):

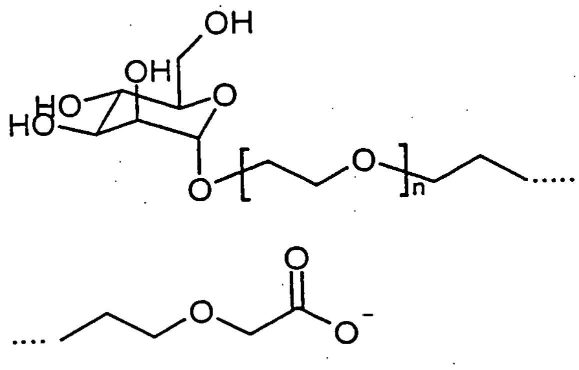


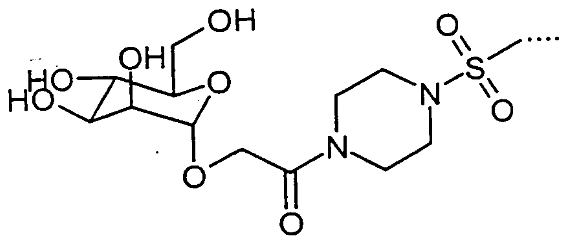


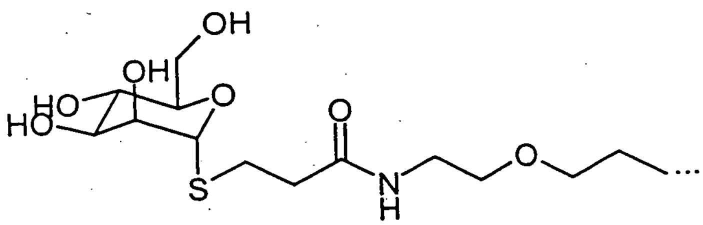


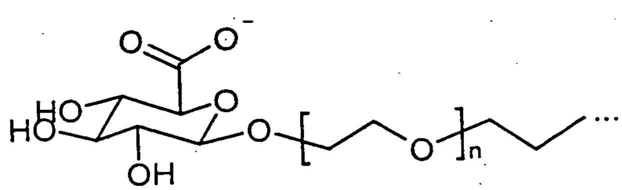


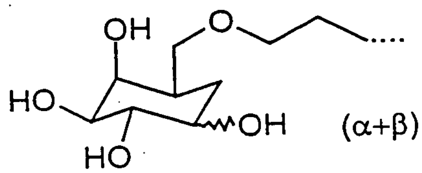


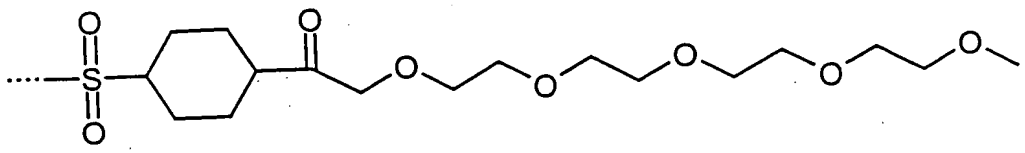


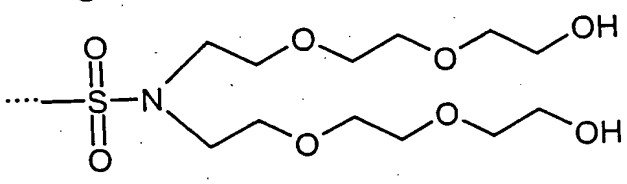


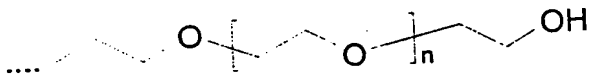


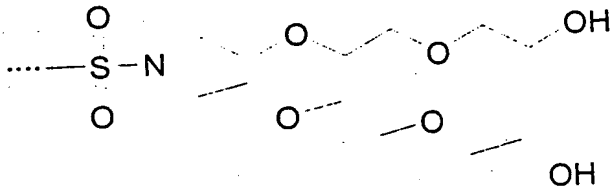


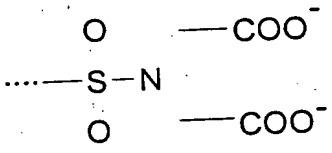


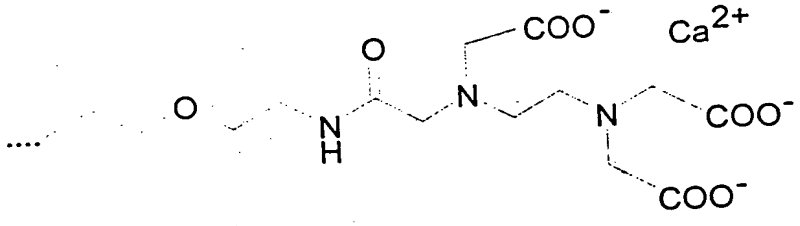


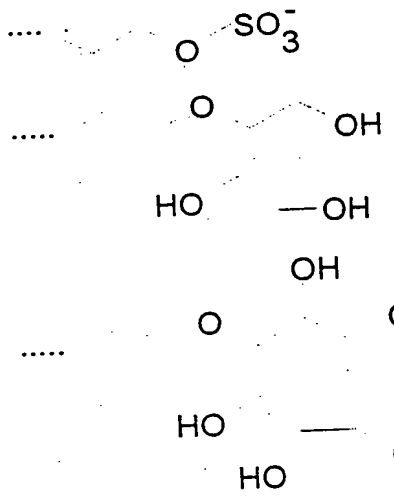


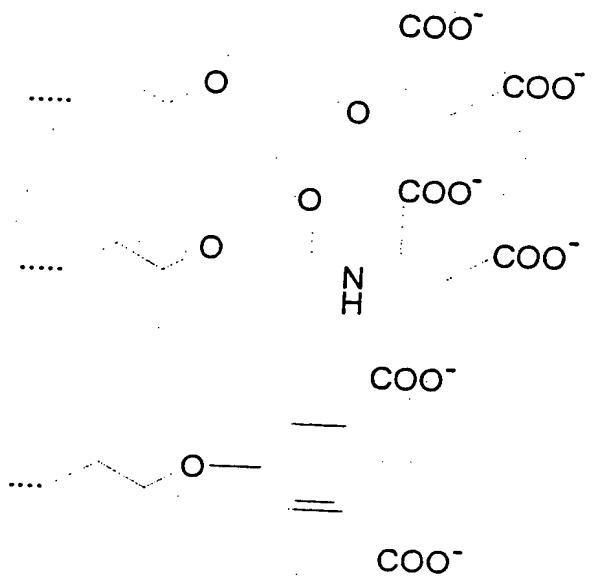












31. Conjugates that consist of α-, ß-, or γ-cyclodextrin and compounds of general formula XVIII

$$A^1\text{-}L^3\text{-}R^F \qquad \text{(XVIII)}$$

in which A^1 stands for an adamantane, biphenyl or anthracene molecule, L^3 stands for a linker and R^F stands for a straight-chain or branched perfluoroalkyl radical with 4 to 30 carbon atoms, and whereby linker L^3 is a straight-chain hydrocarbon chain with 1 to 20 carbon atoms, which can be interrupted by one or more oxygen atoms, one or more CO-, SO_2-, CONH-, NHCO-, CONR-, NRCO-, NH-, NR groups or a piperazine, whereby R is a C_1-C_5 alkyl radical.

32. Process for the production of galenical formulations according to claim 1, wherein the paramagnetic and diamagnetic perfluoroalkyl-containing compounds are dissolved in a solvent while being stirred vigorously.

33. Process for the production of galenical formulations according to claim 1, wherein the paramagnetic and diamagnetic perfluoroalkyl-containing compounds are dissolved in a solvent while being treated simultaneously with ultrasound.

34. Process for the production of galenical formulations according to claim 1, wherein the paramagnetic and diamagnetic perfluoroalkyl-containing compounds are dissolved in a solvent while being treated simultaneously with microwaves.

35. Process for the production of galenical formulations according to claim 1, wherein the paramagnetic and diamagnetic perfluoroalkyl-containing compounds are dissolved in two different solvents, both solutions are added together, and one of the two solvents is distilled off.

36. Solid formulation according to claim 1, wherein it is produced by freeze-drying a solution, which contains paramagnetic and diamagnetic perfluoroalkyl-containing substances.

37. Use of galenical formulations according to claim 1 for the production of contrast media for nuclear spin tomography.

38. Use of galenical formulations according to claim 1 for the production of contrast media for visualizing lymph nodes or a blood-pool.